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(54) Title: NOVEL HIV INTEGRASE INHIBITORS AND HIV THERAPY BASED ON DRUG COMBINATIONS INCLUDING INTEGRASE INHIBITORS

(57) Abstract

The present invention includes a group of novel compounds that are demonstrated to potently and selectively inhibit HIV integrase (IN) activity *in vitro* and to potently inhibit HIV replication in live, cultured cells at non–toxic concentrations. The novel compounds disclosed include 2,3 –di(3,4– dihydroxydihydroxydihydrocinnamoyl) –L–tartaric acid, 2,3 –di–(3,4–dihydroxybenzoyl) –L–tartaric acid, 2,3 –di–(3,4 –dihydroxyphenylacetyl) –L–tartaric acid , 2,3 –di–(3,4,5 –trihydroxybenzoyl –L–tartaric acid, 2,3–dicaffeoyldiamidopropionic acid, 1,2,–dicaffeoyl –L–glyceric acid, bis, –3,4 –dicaffeoyldiamidobenzoic acid, di–3,4 –dihydroxybenzylidene succinic acid, di–3,4 –dihydrodihydroxybenzylidine succinic acid, 2,3 –dicaffeoyl–L–serine, bis–dicaffeoyl –L–isoserine and 1,4–dicaffeoyl –L–lysine. Tests of integrase inhibitors with 2',3'–dideoxycytidine, zidovudine and nelfinavir (protease inhibitor) indicated a potent synergy against reverse transcriptase inhibitor resistant virus. The potential benefit from the addition of integrase inhibitors to combination drug therapies is significant.

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